# EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|---|---|---|---|---|---|---|
| L1 | 1492 | 546/208;548/374.1.ccls. | USPAT | OR | ON | 2006/10/23 13:11 |
| L2 | 149 | l1 and pyrazol | USPAT | OR | ON | 2006/10/23 13:11 |
| L3 | 115 | l2 and pharmaceut$ | USPAT | OR | ON | 2006/10/23 13:25 |
| L4 | 1 | dow-robert.in. | USPAT | OR | ON | 2006/10/23 13:20 |
| L5 | 23 | hammond-marlys.in. | USPAT | OR | ON | 2006/10/23 13:21 |
| S1 | 35 | ("20010027193"\|"20010053788"\|"20020019383"\|"20020019421"\|"20020035102"\|"20020091114"\|"20020128302"\|"20020188007"\|"20030003145"\|"20030055033"\|"20030139386"\|"20030199536"\|"20040077650"\|"20040092520"\|"4925846"\|"4944790"\|"5134142"\|"5462960"\|"5596106"\|"5624941"\|"5744491"\|"5744493"\|"5747524"\|"5925768"\|"6028084"\|"6100259"\|"6344474"\|"6355631"\|"6432984"\|"6476060"\|"6479479"\|"6509367"\|"6518264"\|"6531492"\|"6566356").PN. | US-PGPUB; USPAT | OR | ON | 2006/10/23 12:57 |
| S2 | 0 | wo-2002053565-$.did. | USPAT; DERWENT | OR | ON | 2006/10/23 11:47 |
| S3 | 1 | wo-200253565-$.did. | USPAT; DERWENT | OR | ON | 2006/10/23 11:47 |
| S4 | 1 | wo-2004077650-$.did. | USPAT; DERWENT | OR | ON | 2006/10/23 11:49 |
| S5 | 0 | 2004077650-$.did. | US-PGPUB; USPAT; DERWENT | OR | ON | 2006/10/23 11:49 |
| S6 | 0 | "2004077650.9n." | US-PGPUB; USPAT; DERWENT | OR | ON | 2006/10/23 11:49 |
| S7 | 0 | "20040077650.9n." | US-PGPUB; USPAT; DERWENT | OR | ON | 2006/10/23 11:49 |
| S8 | 0 | "20040077650.pn." | US-PGPUB; USPAT; DERWENT | OR | ON | 2006/10/23 11:49 |
| S9 | 0 | "2004077650.pn." | US-PGPUB; USPAT; DERWENT | OR | ON | 2006/10/23 11:50 |
| S10 | 0 | "20040077650.pn." | US-PGPUB; USPAT; DERWENT | OR | ON | 2006/10/23 11:50 |
| S11 | 0 | "200477650.pn." | US-PGPUB; USPAT; DERWENT | OR | ON | 2006/10/23 11:50 |

Andrew Freistein 10/702,149

Connecting via Winsock to STN

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|---|---|---|---|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | AUG 09 | INSPEC enhanced with 1898-1968 archive |
| NEWS | 4 | AUG 28 | ADISCTI Reloaded and Enhanced |
| NEWS | 5 | AUG 30 | CA(SM)/CAplus(SM) Austrian patent law changes |
| NEWS | 6 | SEP 11 | CA/CAplus enhanced with more pre-1907 records |
| NEWS | 7 | SEP 21 | CA/CAplus fields enhanced with simultaneous left and right truncation |
| NEWS | 8 | SEP 25 | CA(SM)/CAplus(SM) display of CA Lexicon enhanced |
| NEWS | 9 | SEP 25 | CAS REGISTRY(SM) no longer includes Concord 3D coordinates |
| NEWS | 10 | SEP 25 | CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine |
| NEWS | 11 | SEP 28 | CEABA-VTB classification code fields reloaded with new classification scheme |
| NEWS | 12 | OCT 18 | The Derwent World Patents Index suite of databases on STN will be enhanced and reloaded on October 22, 2006 |

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

| | |
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| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |
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FILE 'HOME' ENTERED AT 16:30:53 ON 18 OCT 2006

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 16:31:09 ON 18 OCT 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 OCT 2006 HIGHEST RN 910609-94-8
DICTIONARY FILE UPDATES: 17 OCT 2006 HIGHEST RN 910609-94-8

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10702149\b.str

chain nodes :
8 14 15 16 20 21 22 23 24 25 30
ring nodes :
1 2 3 4 5 9 10 11 12 13
chain bonds :
1-22 3-8 10-24 12-14 15-16 15-20 20-21 20-30 22-23 24-25
ring bonds :
1-2 1-5 2-3 3-4 4-5 9-10 9-13 10-11 11-12 12-13
exact/norm bonds :
1-2 1-5 1-22 2-3 3-4 3-8 4-5 9-10 9-13 10-11 11-12 12-13 12-14 15-16
20-21 20-30 22-23 24-25
exact bonds :
10-24 15-20

G2:H,X,Ak,CN

G3:[*1],[*2]

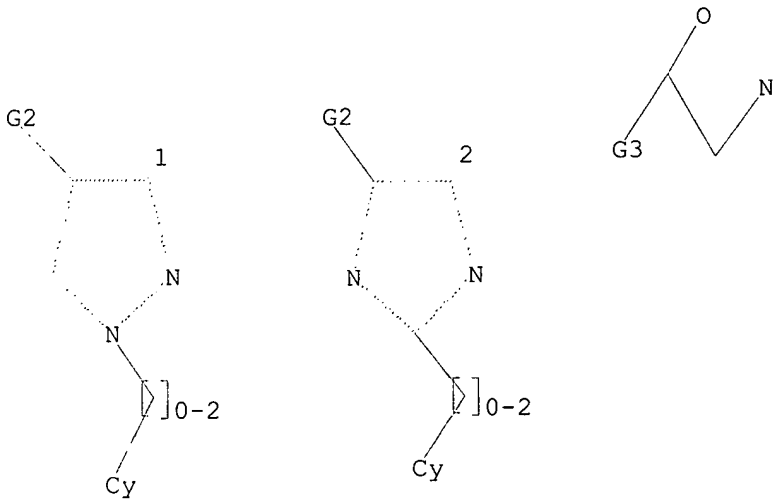
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:CLASS 15:CLASS 16:CLASS 20:CLASS 21:CLASS 22:CLASS 23:Atom
24:CLASS 25:Atom 30:CLASS

Andrew Freistein 10/702,149

Generic attributes :
23:
Saturation : Unsaturated
25:
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR




G1
G2 H,X,Ak,CN
G3 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 16:31:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 174 TO ITERATE

100.0% PROCESSED 174 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2689 TO 4271
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 16:31:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3286 TO ITERATE

100.0% PROCESSED 3286 ITERATIONS 23 ANSWERS
SEARCH TIME: 00.00.01

L3 23 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 166.94 | 167.15 |

FILE 'HCAPLUS' ENTERED AT 16:31:41 ON 18 OCT 2006
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FILE COVERS 1907 - 18 Oct 2006 VOL 145 ISS 17
FILE LAST UPDATED: 17 Oct 2006 (20061017/ED)

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

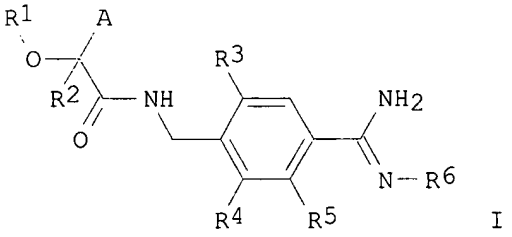
=> s l3
L4 5 L3

=> d ibib abs hitstr 1-5

L4 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:547243 HCAPLUS
DOCUMENT NUMBER: 143:78189
TITLE: Preparation of heterocyclyl substituted alkoxyacetic acid amides as inhibitors of formation of coagulation factors Xa, IXa, and thrombin
INVENTOR(S): Gobbi, Luca Claudio; Zbinden, Katrin Groebke; Mohr, Peter; Obst, Ulrike
PATENT ASSIGNEE(S): Hoffman-La Roche Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 32 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005137168 | A1 | 20050623 | US 2004-9464 | 20041210 |
| US 7056932 | B2 | 20060606 | | |

AU 2004299243 A1 20050630 AU 2004-299243 20041213
CA 2549825 AA 20050630 CA 2004-2549825 20041213
WO 2005058868 A1 20050630 WO 2004-EP14185 20041213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1706396 A1 20061004 EP 2004-803815 20041213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
PRIORITY APPLN. INFO.: EP 2003-104822 A 20031219
WO 2004-EP14185 W 20041213
OTHER SOURCE(S): MARPAT 143:78189
GI



I

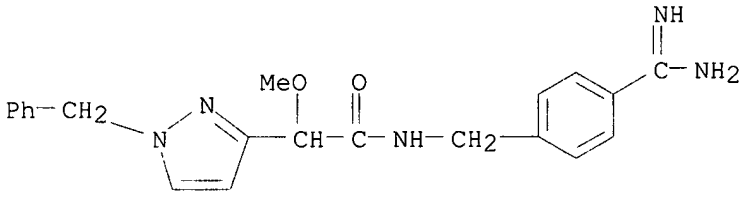
AB The invention is concerned with novel heterocyclyl substituted 1-alkoxy acetic acid derivs. of formula (I) [wherein A = (un)substituted heterocyclyl such as pyrazolyl, triazolyl, 1-oxo-1,3-dihydroisoindolyl, 1,3-dioxo-1,3-dihydroisoindolyl, oxazolyl, benzimidazolyl, 1,2,4-oxadiazol-5-yl and 2-oxo-2H-pyridinyl; R1 = C1-7 alkyl; R2 = H, C1-7 alkyl; R3, R4, R5 = C1-7 alkyl-NH, H, halogen, carbamoyl-C1-7 alkoxy, carboxy-C1-7 alkoxy, carboxy-C1-7 alkyl-NH, C1-7 alkoxy-CO-C1-7 alkoxy, C1-7 alkoxy-CO-C1-7 alkyl-NH, carbamoyl-C1-7 alkyl-NH, C1-7 alkyl-NH-CO-C1-7 alkoxy, C1-7 alkyl-NH-CO-C1-7 alkyl-NH, aryl-NH-CO-C1-7 alkoxy, aryl-NH-CO-C1-7 alkyl-NH, carboxy-C1-7 alkyl-NH-CO-C1-7 alkoxy, carboxy-C1-7 alkyl-NH-CO-C1-7 alkyl-NH, C1-7 alkoxy-CO-C1-7 alkyl-NH-CO-C1-7 alkoxy, C1-7 alkoxy-CO-C1-7 alkyl-NH-CO-C1-7 alkyl-NH, aryloxy, aryl-NH, aryl-NH-CO-NH, aryl-O-CO-NH, aryl-C1-7 alkoxy, aryl-C1-7 alkyl-NH, aryl-C1-7 alkyl-NH-CO-NH, aryl-C1-7 alkoxy-CO-NH, heteroaryloxy, heteroaryl-NH, heteroaryl-NH-CO-NH, heteroaryl-O-CO-NH, heteroaryl-C1-7 alkoxy, heteroaryl-C1-7 alkyl-NH, heteroaryl-C1-7 alkyl-NH-CO-NH, heteroaryl-C1-7 alkoxy-CO-NH, aryl-CO-NH, heteroaryl-CO-NH, etc.; R6 = H, HO, aryl-C1-7 alkoxycarbonyl, arylcarbonyl, aryloxycarbonyl; or R5 and R6 are bound together to form a ring; or R5-R6 = O, NH] and pharmaceutically acceptable salts thereof. These compds. inhibit the formation of coagulation factors Xa, IXa and thrombin induced by factor VIIa and tissue factor and can be used as medicaments for the therapeutic and/or prophylactic treatment of arterial and venous thrombosis, deep vein thrombosis, pulmonary embolism, unstable angina pectoris, cardiac infarction and stroke due to atrial fibrillation, inflammation, arteriosclerosis, and/or tumor. For example, (RS)-N-(4-

carbamimidoylbenzyl)-2-[3-(5-chloro-2-hydroxyphenyl)pyrazol-1-yl]-2-ethoxyacetamide hydrochloride and (RS)-N-(4-carbamimidoylbenzyl)-2-ethoxy-2-[2-oxo-3-[(phenylmethylsulfonyl)amino]-2H-pyridin-1-yl]acetamide acetate showed Ki of 0.76 and 0.43 μM, resp., in the prothrombin time (PT) clotting test using human plasma.

IT 854761-56-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl substituted 1-alkoxy acetic acid amides as inhibitors of formation of coagulation factors Xa, IXa, and thrombin)

RN 854761-56-1 HCAPLUS

CN 1H-Pyrazole-3-acetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-α-methoxy-1-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)




●x HCl

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:160837 HCAPLUS
DOCUMENT NUMBER: 142:233372
TITLE: Pharmaceutical composition using a combination of an opioid receptor antagonist and a CB-1 receptor antagonist for the prevention and treatment of addiction in a mammal
INVENTOR(S): Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy, Stanton Furst; McLean, Stafford
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005043327 | A1 | 20050224 | US 2004-870209 | 20040617 |
| CA 2536280 | AA | 20050303 | CA 2004-2536280 | 20040809 |
| WO 2005018645 | A1 | 20050303 | WO 2004-IB2596 | 20040809 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1658082 A1 20060524 EP 2004-744231 20040809

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

PRIORITY APPLN. INFO.: US 2003-496803P P 20030821

WO 2004-IB2596 W 20040809

AB Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of alc. use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compns. are comprised of a therapeutically effective combination of an opioid receptor antagonist and a CB-1 receptor antagonist and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

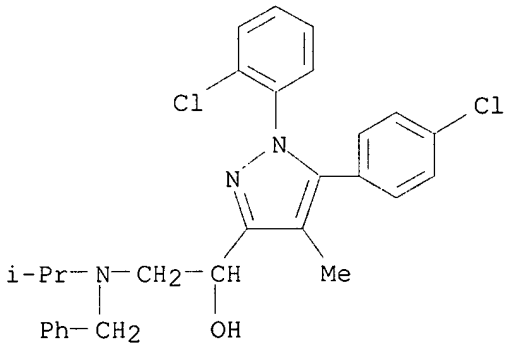
IT 709033-30-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(opioid receptor antagonist-CB-1 receptor antagonist combination for prevention and treatment of addiction)

RN 709033-30-7 HCAPLUS

CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[(1-methylethyl)(phenylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)




L4 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:902356 HCAPLUS

DOCUMENT NUMBER: 141:379921

TITLE: Biaryl-substituted pyrazoles as sodium channel blockers, and their preparation, pharmaceutical compositions, and use in the treatment of pain

INVENTOR(S): Chakravarty, Prasun K.; Fisher, Michael H.; Parsons, William H.; Tyagarajan, Sriram; Zhou, Bishan

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

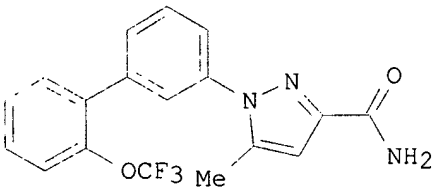
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2004092140 | A1 | 20041028 | WO 2004-US9713 | | 20040330 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| AU 2004230854 | A1 | 20041028 | AU 2004-230854 | | 20040330 |
| CA 2520804 | AA | 20041028 | CA 2004-2520804 | | 20040330 |
| EP 1615895 | A1 | 20060118 | EP 2004-759062 | | 20040330 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | | |
| CN 1798738 | A | 20060705 | CN 2004-80014916 | | 20040330 |
| JP 2006522130 | T2 | 20060928 | JP 2006-509477 | | 20040330 |
| US 2006183785 | A1 | 20060817 | US 2005-552024 | | 20051003 |
| PRIORITY APPLN. INFO.: | | | US 2003-460106P | P | 20030403 |
| | | | WO 2004-US9713 | W | 20040330 |

OTHER SOURCE(S): MARPAT 141:379921

GI




II

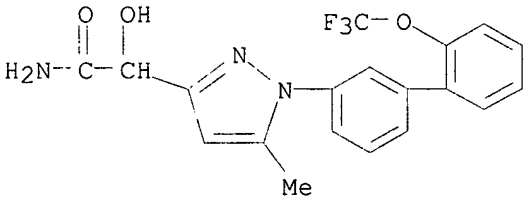
AB Biaryl-substituted pyrazole compds., which are sodium channel blockers, useful for the treatment of pain and other conditions, are disclosed. The compds. generally conform to the structure Ar1-Ar2-Ar3 [I; Ar1 = Ph with 0-3 selected substituents, typically H, Cl, CF3, OCF3, etc.; Ar2 = 1,3-phenylene, 3,5-, 2,4-, 2,6-, or 4,2-pyridinediyl, or 2,6-pyrazinediyl, all with 0-2 selected substituents, typically H, F, OCF3; Ar3 = pyrazol-1-yl or pyrazol-3(5)-yl, with 0-3 selected substituents, typically H, CO2H, CONH2, CO2Me, CO2Et, Me, etc.; including pharmaceutically acceptable salts]. Pharmaceutical compns. comprise an effective amount of I, either alone, or in combination with one or more therapeutically active compds., and a pharmaceutically acceptable carrier. Methods of treatment of conditions, including acute pain, chronic pain, visceral pain, inflammatory pain, and neuropathic pain, comprise administering an effective amount of I, either alone, or in combination with one or more therapeutically active compds. I displayed sodium channel blocking activity at concns. ranging from about <0.1 μM to about <50 μM in several described in vitro assays, e.g., in an electrophysiol. assay using an HEK-293 cell line stably expressing the PN1 sodium channel subtype. Approx 300 specific invention compds. were prepared and listed individually in examples and/or claims. Several prepns. are described in detail. For instance, invention compound II was prepd in 4 steps. Thus, cyclocondensation of 3-BrC6H4NHNH2.HCl with Et 2,4-dioxovalerate in

refluxing AcOH gave 84% Et 1-(3-bromophenyl)-5-methyl-1H-pyrazole-3-carboxylate. Alkaline hydrolysis of this ester with 2N NaOH gave 89% of the corresponding acid, which was activated with 1,1-carbonyldiimidazole and amidated with NH4OAc to give 82% 1-(3-bromophenyl)-5-methyl-1H-pyrazole-3-carboxamide. Suzuki coupling of this bromide with 2-CF3OC6H4B(OH)2 (preparation given) gave 88% II.

IT 784141-47-5P, 2-Hydroxy-2-[5-methyl-1-[2'-(trifluoromethoxy)-1,1'-biphenyl-3-yl]-1H-pyrazol-3-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of biaryl-substituted pyrazoles as sodium channel blockers, particularly as analgesics)

RN 784141-47-5 HCAPLUS

CN 1H-Pyrazole-3-acetamide, α-hydroxy-5-methyl-1-[2'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:515489 HCAPLUS
DOCUMENT NUMBER: 141:54345
TITLE: Preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor antagonists.
INVENTOR(S): Dow, Robert Lee; Hammond, Marlys
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004052864 | A1 | 20040624 | WO 2003-IB5835 | 20031203 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004122074 | A1 | 20040624 | US 2003-702149 | 20031104 |
| CA 2505887 | AA | 20040624 | CA 2003-2505887 | 20031203 |
| AU 2003286315 | A1 | 20040630 | AU 2003-286315 | 20031203 |

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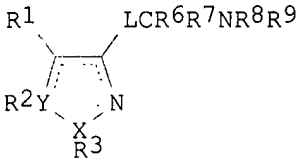
|---|---|---|---|---|---|
| EP 1572662 | A1 | 20050914 | EP 2003-777058 | | 20031203 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| BR 2003017096 | A | 20051025 | BR 2003-17096 | | 20031203 |
| JP 2006514942 | T2 | 20060518 | JP 2004-558286 | | 20031203 |
| PRIORITY APPLN. INFO.: | | | US 2002-432911P | P | 20021212 |
| | | | WO 2003-IB5835 | W | 20031203 |

OTHER SOURCE(S): MARPAT 141:54345


GI



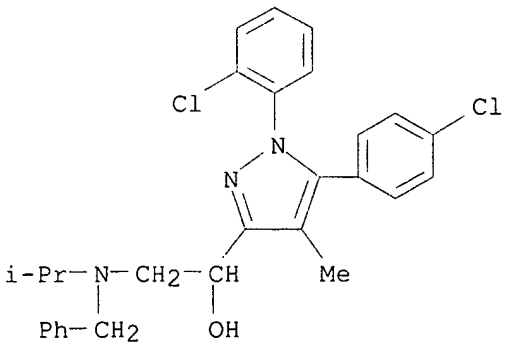
I


AB Title compds. [I; X = C and Y = N, or X = N and Y = C; R1 = H, alkyl, halo, cyano; R2, R3 = (CH2)nAr; m, n = 0-2; p = 0-3; Ar = (substituted) aryl, heteroaryl; L = CO, CR4OR5; R4 = H, alkyl; R5 = H, alkyl; R5R8, R5R9 = CH2CH2, CH2CO; R6, R7 = H, alkyl; R6R7 = atoms to form a (partially) saturated carbocyclic ring; R8, R9 = H, alkyl, CO(CH2)mR10, SO2(CH2)nR10, (CH2)pR10; R8R9 = atoms to form a 4-8 membered (partially) saturated ring; R10 = (substituted) alkyl, (partially) saturated cycloalkyl, aryl, heteroaryl, heterocyclyl; dotted lines = bonds for form an aromatic ring], were prepared for treatment of obesity, alcoholism, or tobacco abuse (no data). Thus, 2-(benzylisopropylamino)-1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]ethanone hydrochloride was stirred with NaBH4 in EtOH to give 2-(benzylisopropylamino)-1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]ethanol.


IT 709033-30-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor antagonists)

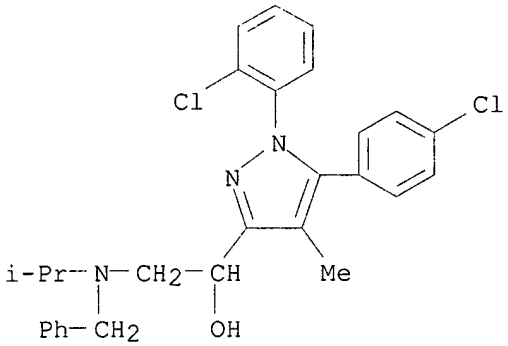
RN 709033-30-7 HCAPLUS

CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[(1-methylethyl)(phenylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



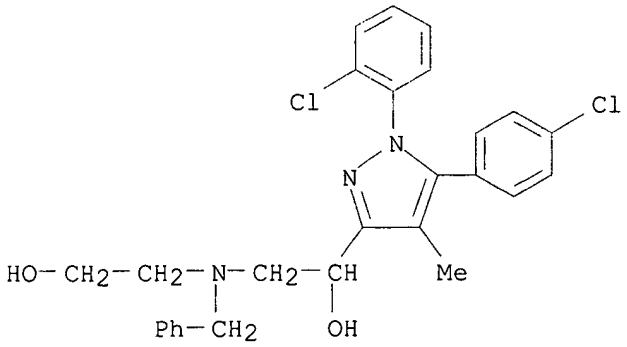
IT 709035-74-5P 709035-75-6P 709035-76-7P
709035-84-7P 709035-95-0P 709035-97-2P
709036-04-4P 709036-12-4P 709036-26-0P
709036-35-1P 709036-38-4P 709036-39-5P
709036-47-5P 709036-49-7P 709036-50-0P
709036-53-3P 709036-54-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor antagonists)

RN 709035-74-5 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[(1-methylethyl)(phenylmethyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

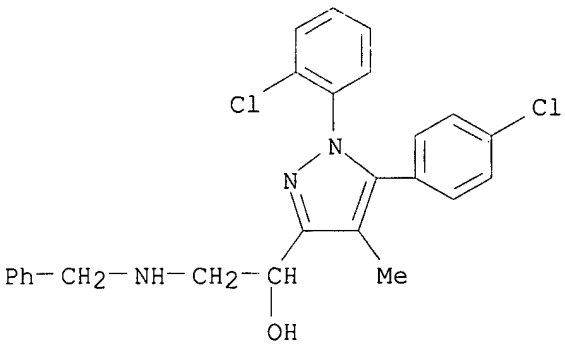



● x HCl

RN 709035-75-6 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-α-[[(2-hydroxyethyl)(phenylmethyl)amino]methyl]-4-methyl- (9CI) (CA INDEX NAME)

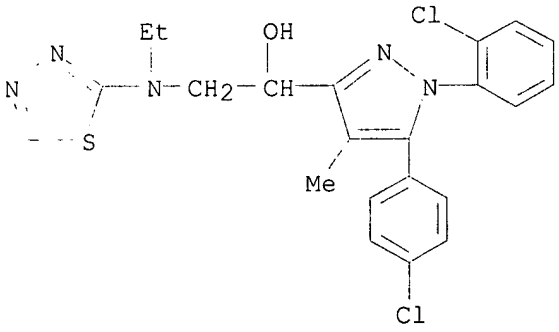



RN 709035-76-7 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[(phenylmethyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

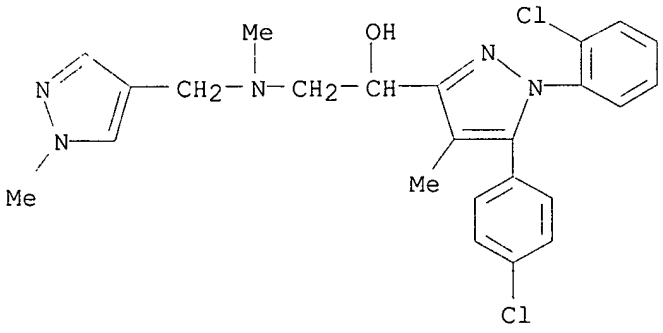


● x HCl

RN 709035-84-7 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-α-[(ethyl-1,3,4-thiadiazol-2-ylamino)methyl]-4-methyl- (9CI) (CA INDEX NAME)

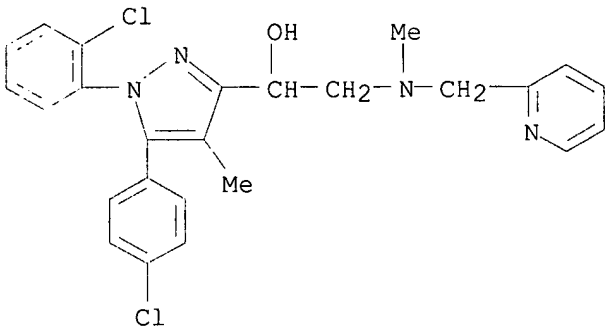


RN 709035-95-0 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[methyl[(1-methyl-1H-pyrazol-4-yl)methyl]amino]methyl]- (9CI) (CA INDEX NAME)

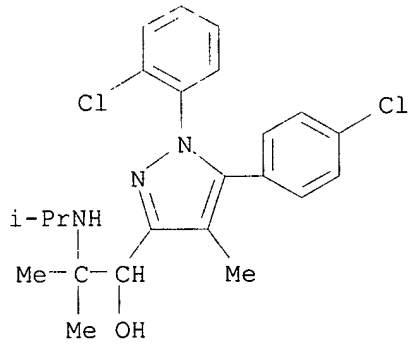


RN 709035-97-2 HCAPLUS

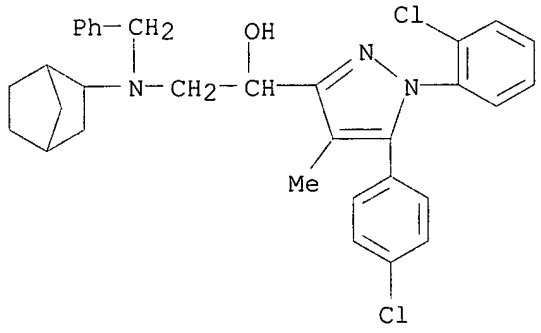
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[methyl(2-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 709036-04-4 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[1-methyl-1-[(1-methylethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

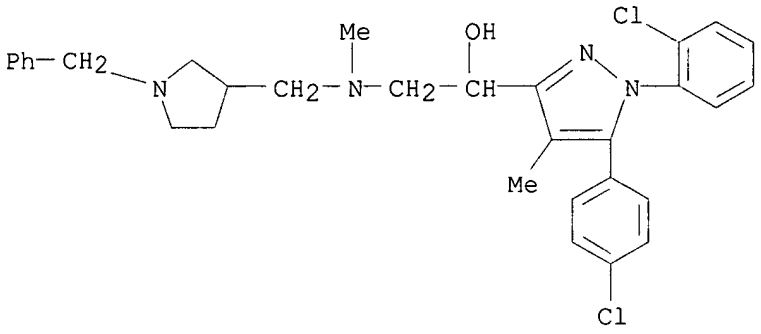


RN 709036-12-4 HCAPLUS
CN 1H-Pyrazole-3-methanol, α-[[bicyclo[2.2.1]hept-2-yl(phenylmethyl)amino]methyl]-1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

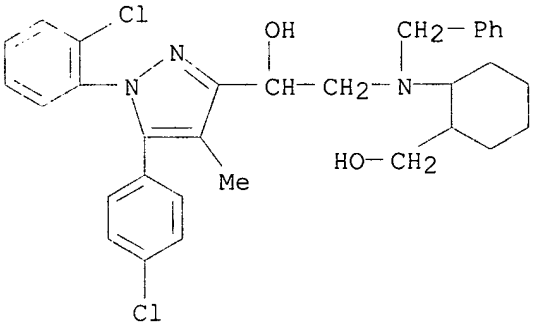


RN 709036-26-0 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[methyl[[1-(phenylmethyl)-3-pyrrolidinyl]methyl]amino]methyl]-

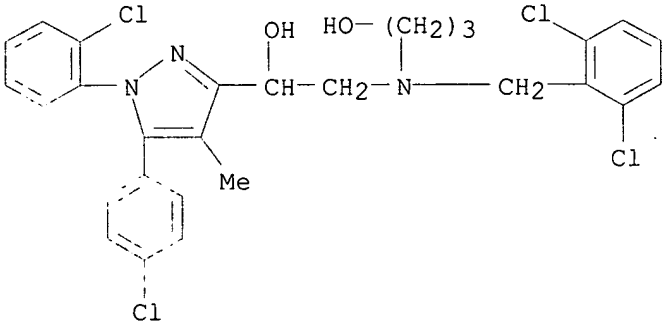
(9CI) (CA INDEX NAME)



RN 709036-35-1 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-α-[[[2-(hydroxymethyl)cyclohexyl](phenylmethyl)amino]methyl]-4-methyl- (9CI) (CA INDEX NAME)

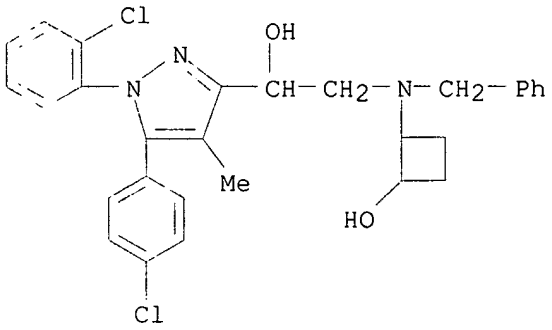


RN 709036-38-4 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-α-[[[(2,6-dichlorophenyl)methyl](3-hydroxypropyl)amino]methyl]-4-methyl- (9CI) (CA INDEX NAME)

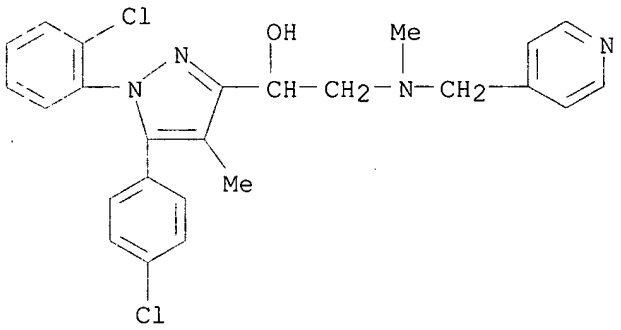


RN 709036-39-5 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-α-[[(2-hydroxycyclobutyl)(phenylmethyl)amino]methyl]-4-methyl- (9CI) (CA INDEX

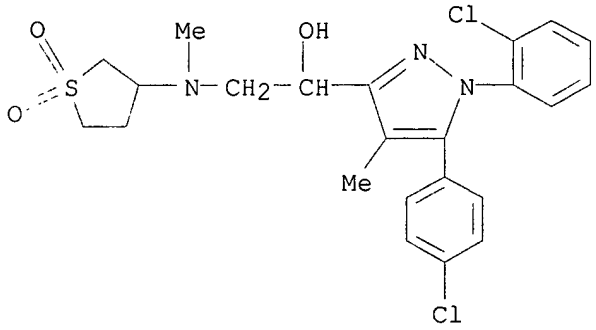
NAME)



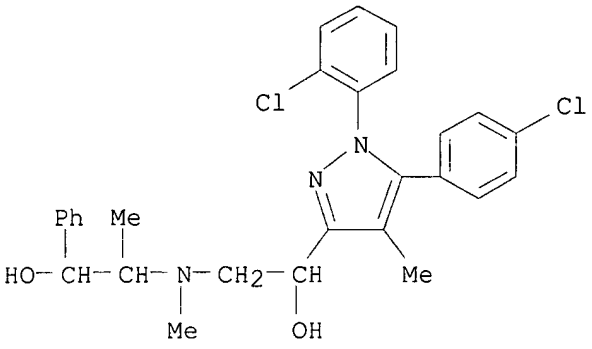
RN 709036-47-5 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[methyl(4-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



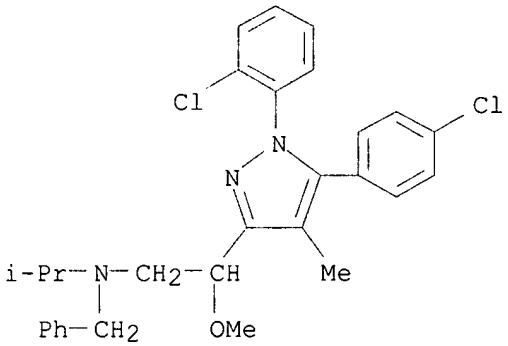
RN 709036-49-7 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-α-[[methyl(tetrahydro-1,1-dioxido-3-thienyl)amino]methyl]- (9CI) (CA INDEX NAME)



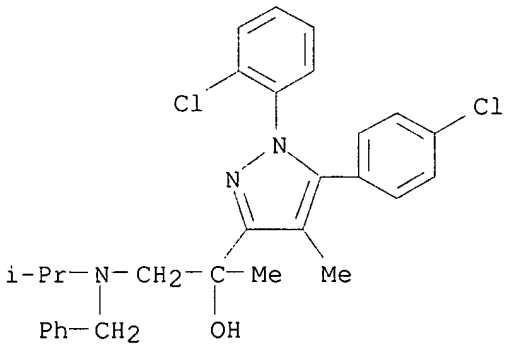
RN 709036-50-0 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-α-[[(2-hydroxy-1-methyl-2-phenylethyl)methylamino]methyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 709036-53-3 HCAPLUS
CN 1H-Pyrazole-3-ethanamine, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-β-methoxy-4-methyl-N-(1-methylethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

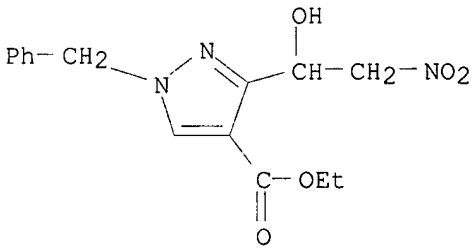


RN 709036-54-4 HCAPLUS
CN 1H-Pyrazole-3-methanol, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-α,4-dimethyl-α-[[(1-methylethyl)(phenylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:147866 HCAPLUS
DOCUMENT NUMBER: 78:147866

TITLE: New method of synthesis of pyrazolo[4,3-c]pyridines
AUTHOR(S): Bourzat, J. D.; Marquet, J. P.; Civier, A.; Bisagni, E.
CORPORATE SOURCE: Lab. Synth. Org. Ford. Curie, Univ. Paris-Sud, Orsay, Fr.
SOURCE: Tetrahedron (1973), 29(2), 441-7
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 78:147866
GI For diagram(s), see printed CA Issue.
AB 2,4-Disubstituted pyrazolo-[4,3-c]pyridines (I, R = Me, CH2Ph, R1, H, SH, NHCH2Ph, pyrrolidino) were prepared from 1-substituted 3-formly-4-(ethoxycarbonyl)pyrazoles by nitromethylation, reduction, cyclization, dehydration, chlorination, and substitution of the Cl in I (R = Me, Ph, R1 = Cl). Similarly 1-substituted 5-formyl-4-(ethoxycarbonyl)pyrazoles gave the 1,4-disubstituted analogs (II, R = Ph, CH2Ph, R1 = H, SH, NHCH2Ph, piperidino).
IT 41372-84-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 41372-84-3 HCAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3-(1-hydroxy-2-nitroethyl)-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

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---Logging off of STN---

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Executing the logoff script...

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 28.08 | 195.23 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -3.75 | -3.75 |

STN INTERNATIONAL LOGOFF AT 16:32:06 ON 18 OCT 2006